

Cont
#1
wherein

U is O or a lone pair;

V is O, $-\text{CH}_2-$, $-\text{CH}=\text{CH}-$, or $-\text{C}\equiv\text{C}-$;

m and n are each integers from 0 to 7 and $m+n$ is 0 to 7;

W is CO, COO, CONR^1 , CSO, CSNR^1 , SO_2 , or SO_2NR^1 , with the provisos that:

a) V is not $-\text{CH}_2-$ when W is CO,

b) $m+n$ is 1 or 2 when V is $-\text{CH}_2-$ and W is SO_2 ,

c) $m=n=0$ when V is $-\text{CH}=\text{CH}-$ and W is CO or SO_2 ,

d) m is 1 to 7 when V is O, and

e) m is 1 to 3 when V is O, W is CO or SO_2 , and n is 0;

A^1 is H, lower-alkyl or lower-alkenyl,

A^2 is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

A^1 and A^2 bond together to form $-\text{A}^1-\text{A}^2-$, wherein $-\text{A}^1-\text{A}^2-$ is lower-alkylene or lower-alkenylene, optionally substituted by R^2 , and one $-\text{CH}_2-$ group of $-\text{A}^1-\text{A}^2-$ is optionally replaced by NR^3 , S, or O;

A^3 and A^4 are independently hydrogen or lower-alkyl;

A^5 is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;

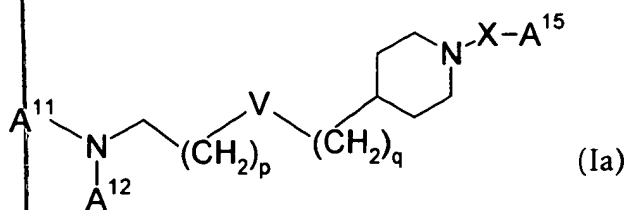
R^2 is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or $\text{N}(\text{R}^4, \text{R}^5)$;

R^1 , R^3 , R^4 and R^5 are independently hydrogen or lower-alkyl; and

When A^1 is not bonded to A^2 , A^1 and A^3 optionally bond together to form $-\text{A}^1-\text{A}^3-$, wherein $-\text{A}^1-\text{A}^3-$ is lower-alkylene or lower-alkenylene, optionally substituted by R^2 , and one $-\text{CH}_2-$ group of $-\text{A}^1-\text{A}^3-$ is optionally replaced by NR^3 , S, or O; or

pharmaceutically acceptable salts or esters of the compounds of formula (I).

24. (Amended) A compound of compounds of formula (Ia)



wherein

V is O, -CH₂-, -CH=CH-, or -C≡C-;

p is an integer from 0 to 5;

q 0, 1 or 2;

X is CO, COO, SO₂, or SO₂NH, with the provisos that:

a) V is not -CH₂- when X is CO,

b) p+q is 1 or 2 when V is -CH₂- and X is SO₂,

c) p=q=0 when V is -CH=CH- and X is CO or SO₂,

d) p is 1 to 5 when V is O, and

e) p is 1 to 3 when V is O, X is CO or SO₂, and q is 0;

A¹¹ is methyl or ethyl;

A¹² is cyclopropyl, lower-alkenyl, or lower-alkyl optionally substituted with hydroxy or lower-alkoxy; and

A¹⁵ is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl; or

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A2
pharmaceutically acceptable salts or esters of the compounds of formula (Ia).

25. (Amended) The compound of claim 24, wherein A¹² is cyclopropyl, lower alkenyl of 2 to 4 carbon atoms, lower alkyl of 1 to 4 carbon atoms, lower alkoxy of 1 to 4 carbon atoms, lower alkyl substituted with a lower-alkoxy having a total of 2 to 4 carbon atoms, or lower alkyl substituted with hydroxy.

A3
43. (Amended) The compound of claim 42, selected from the group consisting of allyl-{4-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yloxy]-butyl}-methyl-amine and pharmaceutically acceptable salts thereof.

44. (Amended) The compound of claim 42, selected from the group consisting of allyl-{3-[1-(4-bromo-benzenesulfonyl)-piperidin-4-yloxy]-propyl}-methyl-amine and pharmaceutically acceptable salts thereof.

A4
47. (Amended) The compound of claim 46, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid butylamide and pharmaceutically acceptable salts thereof.

A5
49. (Amended) The compound of claim 48, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid cyclohexylmethyl-amide, and pharmaceutically acceptable salts thereof.

A6
51. (Amended) The compound of claim 50, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (phenyl)-amide and pharmaceutically acceptable salts thereof.

A7
53. (Amended) The compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-chloro-phenyl)-amide and pharmaceutically acceptable salts thereof.

54. (Amended) The compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-bromo-phenyl)-amide and pharmaceutically acceptable salts thereof.

55. (Amended) The compound of claim 52, selected from the group consisting of 4-[6-(cyclopropyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (3,4-difluoro-phenyl)-amide and pharmaceutically acceptable salts thereof.

56. (Amended) The compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (2,5-difluoro-phenyl)-amide and pharmaceutically acceptable salts thereof.

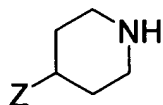
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58. (Amended) The compound of claim 57, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-trifluoromethyl-phenyl)-amide and pharmaceutically acceptable salts thereof.

61. (Amended) The compound of claim 60, selected from the group consisting of methyl-propyl-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-butyl}-amine and pharmaceutically acceptable salts thereof.

68. (Amended) The compound of claim 67, selected from the group consisting of methyl-propyl-{3-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-prop-2-ynyl}-amine and pharmaceutically acceptable salts thereof.

71. (Amended) The compound of claim 67, selected from the group consisting of ethyl-(2-methoxy-ethyl)-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-but-3-ynyl}-amine and pharmaceutically acceptable salts thereof.

73. (Amended) A process for the preparation of compounds according to claim 1, which process comprises reacting a compound of formula (II)



(II)

wherein Z is $(A^1, A^2)N-C(A^3, A^4)-(CH_2)_m-V-(CH_2)_n-$, $X-CH_2-(CH_2)_m-V-(CH_2)_n-$, $HO(CH_2)_n-$, or $HOOC(CH_2)_n-$, wherein X is chlorine, bromine, iodine, methanesulfonyl, or toluenesulfonyl, and A^1, A^2, A^3, A^4, V, m and n are as defined in claim 1, with $ClSO_2-A^5$, $ClCOO-A^5$, $ClCSO-A^5$, $OCN-A^5$, $SCN-A^5$, $HOOC-A^5$, or $ClSO_2NR^1-A^5$, wherein A^5 is as defined in claim 1.

75. (Amended) A method for the treatment and/or prophylaxis of diseases in a mammal which are associated with 2,3-oxidosqualene: lanosterol cyclase (OSC) such as